STN- Structure Search
1/31/08

10/584,632

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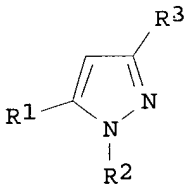
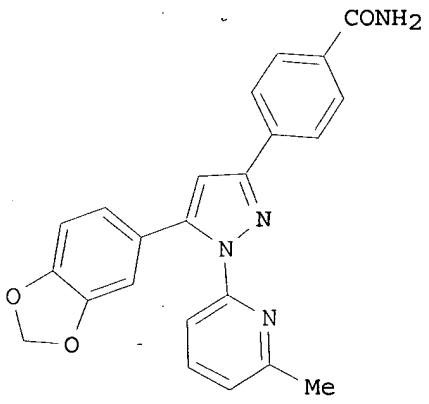
L4 ANSWER 1 OF 10 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2007:1258734 CAPLUS
DOCUMENT NUMBER: 147:541866
TITLE: Preparation of trisubstituted 1H-pyrazoles as inhibitors of transforming growth factor β
INVENTOR(S): Li, Song; Li, Xingzhou; Dai, Xianping; Zheng, Zhibing; Wang, Lili; Xiao, Junhai; Liu, Hongying
PATENT ASSIGNEE(S): Institute of Pharmacology and Toxicology, Academy of Military Medical Sciences, The Chinese People's Liberation Army, Peop. Rep. China
SOURCE: Faming Zhuanli Shenqing Gongkai Shuomingshu, 113pp.
CODEN: CNXXEV
DOCUMENT TYPE: Patent
LANGUAGE: Chinese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CN 101062916	A	20071031	CN 2006-10078014	20060429

PRIORITY APPLN. INFO.: CN 2006-10078014 20060429
GI



I

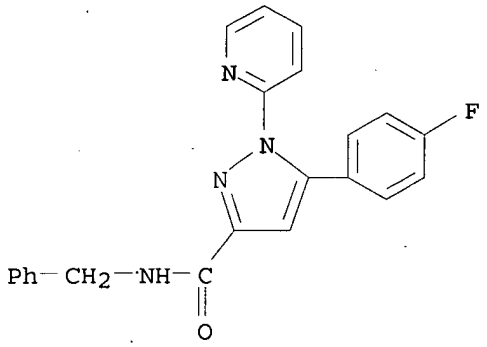


II

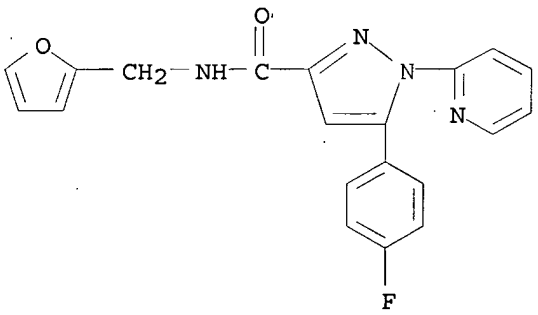
AB The title trisubstituted 1H-pyrazole compds. I [wherein R1 and R2 = independently (un)substituted or (un)fused aryl or heterocyclyl; R3 = (un)substituted aryl, heterocyclyl, halo, alkyl, etc.], or isomers, pharmaceutically acceptable salts, or hydrates there of were prepared as inhibitors of transforming growth factor β (TGF-β). For example, II was prepared in a multi-step synthesis. II showed 45.28% inhibitory activity against TGF-β. The compds. are useful for treatment of chronic nephritis, arthritis, diabetic nephrosis, arteriosclerosis, pulmonary fibrosis, liver fibrosis, etc. (no data).

IT 957654-40-9P 957654-45-4P 957654-50-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of trisubstituted 1H-pyrazoles as TGF-β inhibitors)

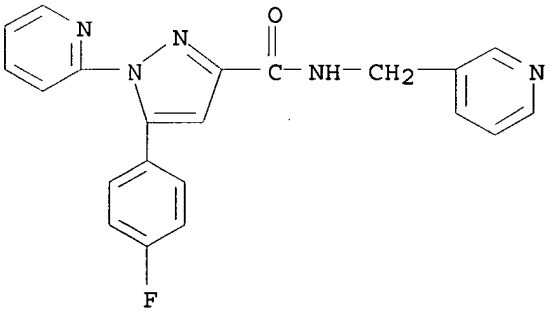
RN 957654-40-9 CAPLUS
CN 1H-Pyrazole-3-carboxamide, 5-(4-fluorophenyl)-N-(phenylmethyl)-1-(2-pyridinyl)- (CA INDEX NAME)



RN 957654-45-4 CAPLUS
CN 1H-Pyrazole-3-carboxamide, 5-(4-fluorophenyl)-N-(2-furanylmethyl)-1-(2-pyridinyl)- (CA INDEX NAME)



RN 957654-50-1 CAPLUS
CN 1H-Pyrazole-3-carboxamide, 5-(4-fluorophenyl)-1-(2-pyridinyl)-N-(3-pyridinylmethyl)- (CA INDEX NAME)



L4 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2007:14431 CAPLUS
DOCUMENT NUMBER: 146:121962
TITLE: Pyrazole based LXR modulators and their preparation, pharmaceutical compositions and use in the treatment of diseases
INVENTOR(S): Busch, Breet B.; Flatt, Brenton T.; Gu, Xiao Hui; Martin, Richard; Mohan, Raju; Nyman, Michael Charles; Schweiger, Edwin; Stevens, William C., Jr.; Wang, Tie Lin; Xie, Yinong

10/584,632

PATENT ASSIGNEE(S): Exelixis, Inc., USA
SOURCE: PCT Int. Appl., 533pp., which
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007002559	A1	20070104	WO 2006-US24749	20060626

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: US 2005-694372P P 20050627
US 2005-736120P P 20051110

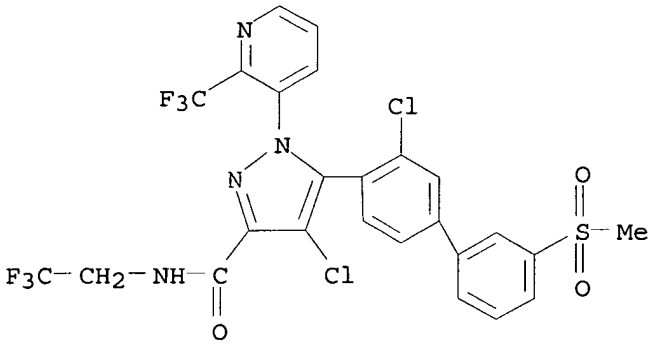
OTHER SOURCE(S): MARPAT 146:121962
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

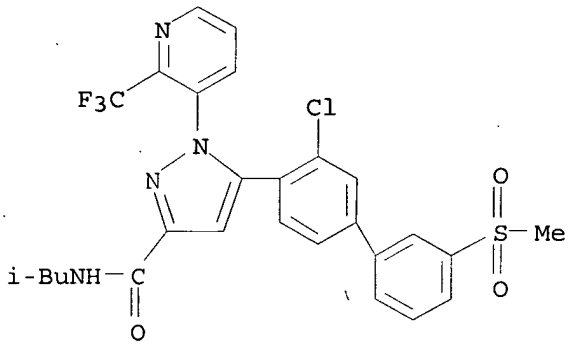
AB Compds. of the invention, such as compds. of formulas I, II, III, and IV and pharmaceutically acceptable salts, isomers, and prodrugs thereof, which are useful as modulators of the activity of liver X receptors. Pharmaceutical compns. containing the compds. and methods of using the compds. are also disclosed. Compds. of formulas I - IV wherein R1 is (un)substituted (hetero)aryl, (un)substituted alkyl, (un)substituted alkenyl, (un)substituted (thio)ethers, etc.; R2 and R21 are independently (un)substituted alkyl, (un)substituted alkenyl, (un)substituted alkyldiyl, H, halo, NO2, CN, (hetero)aryl, etc.; R3 is(un)substituted alkyl, (un)substituted alkyldiyl, (un)substituted alkenyl, (un)substituted acetyl, (un)substituted thioacetyl, etc.; G is (un)substituted (hetero)aryl, (un)substituted biaryl, (un)substituted alkenoyl, etc.; and their pharmaceutically acceptable salts, isomers, and prodrugs thereof, are claimed. Example compound V was prepared by acylation of 2-acetyl-5-bromothiophene with Et trifluoroacetate; the resulting 1-(5-bromothien-2-yl)-4,4,4-trifluorobutane-1,3-dione underwent cyclization with 2,5-dichlorophenylhydrazine hydrochloride to give 5-(5-bromothien-2-yl)-1-(2,5-dichlorophenyl)-3-trifluoromethyl-1H-pyrazole, which underwent Suzuki cross-coupling with 3-aminosulfonylphenylboronic acid to give compound II. All the invention compds. were evaluated for their LXR modulatory activity. From the assay, it was determined that several of the tested compds. exhibited IC50 values of < 1 μM.

IT 918319-15-0P 918319-16-1P 918322-06-2P
918322-07-3P 918325-77-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of pyrazoles as LXR modulators and their use in the treatment of diseases)

pyridinyl]- (CA INDEX NAME)



RN 918325-77-6 CAPLUS
CN 1H-Pyrazole-3-carboxamide, 5-[3-chloro-3'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]-N-(2-methylpropyl)-1-[2-(trifluoromethyl)-3-pyridinyl]- (CA INDEX NAME)



REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 10 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2006:325402 CAPLUS
DOCUMENT NUMBER: 145:103666
TITLE: Preparation of pyrazoles as cyclooxygenase inhibitors
PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan
SOURCE: Aust. Pat. Appl., 68 pp.
CODEN: AUXXCM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
AU 2004200420	A1	20040930	AU 2004-200420		20040206
PRIORITY APPLN. INFO.:			AU 2003-901100	A	20030311

OTHER SOURCE(S): MARPAT 145:103666
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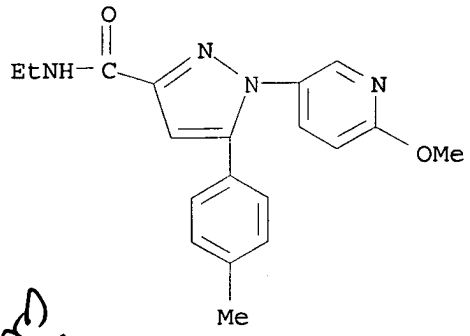


Inventors

L4 ANSWER 4 OF 10 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:612279 CAPLUS
DOCUMENT NUMBER: 143:133365
TITLE: Preparation of pyrazole carboxamide derivatives as platelet aggregation inhibitors for treatment of ischemia
INVENTOR(S): Kanaya, Naoaki; Ishiyama, Takashi; Muto, Ryo; Ochiai, Yuichi; Watanabe, Toshiyuki; Kuru, Noriko
PATENT ASSIGNEE(S): Daiichi Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 329 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005063737	A1	20050714	WO 2004-JP19582	20041227
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004309254	A1	20050714	AU 2004-309254	20041227
CA 2551604	A1	20050714	CA 2004-2551604	20041227
EP 1698626	A1	20060906	EP 2004-807937	20041227
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, IS				
CN 1902191	A	20070124	CN 2004-80039042	20041227
MX 2006PA07424	A	20060913	MX 2006-PA7424	20060626
NO 2006003090	A	20060921	NO 2006-3090	20060704
US 2007219210	A1	20070920	US 2007-584632	20070227

PRIORITY APPLN. INFO.:	JP 2003-434726	A	20031226
	JP 2004-12154	A	20040120
	JP 2004-321117	A	20041104
	WO 2004-JP19582	W	20041227

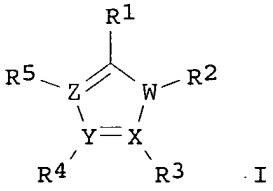
OTHER SOURCE(S): MARPAT 143:133365
GI

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

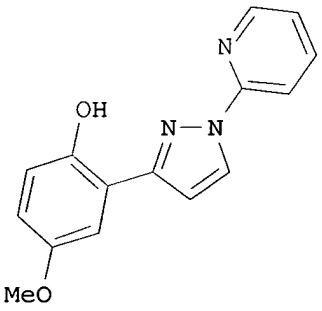
L4 ANSWER 5 OF 10 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2004:1124567 CAPLUS
DOCUMENT NUMBER: 142:74572
TITLE: Preparation of heterocyclic compounds for treating hepatitis C virus
INVENTOR(S): Vourloumis, Dionisios; Takahashi, Masayuki; Winters, Geoff; Zhou, Jinglan; Duchene, Russell
PATENT ASSIGNEE(S): Anadys Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 416 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004110351	A2	20041223	WO 2004-US15249	20040514
WO 2004110351	A3	20050428		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2005075375	A1	20050407	US 2004-845587	20040514
PRIORITY APPLN. INFO.:			US 2003-470200P P	20030514
OTHER SOURCE(S):	MARPAT 142:74572			

GI



I



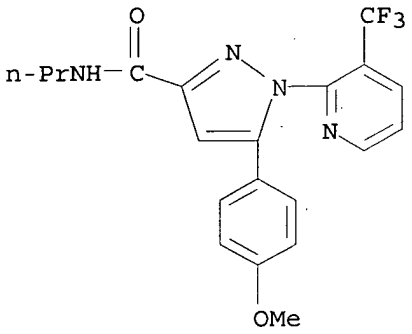
II

AB The title compds. I [X, Y, Z = C, N; W = N, O, S; R1, R3-R5 = H, halo, NO2, etc.; R2 = H, alkyl], useful for treating Hepatitis C virus, were prepared E.g., a multi-step synthesis of II, starting from 2'-hydroxy-5'-methoxyacetophenone, was given. The compds. I were tested for inhibition of HCV replication in in vitro assays (the results of EC50 assay are given for 640 compds. I). The pharmaceutical composition comprising the compound I is disclosed.

IT 814262-81-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU

(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of disubstituted pyrazoles, oxadiazoles and triazoles for treating hepatitis C virus)

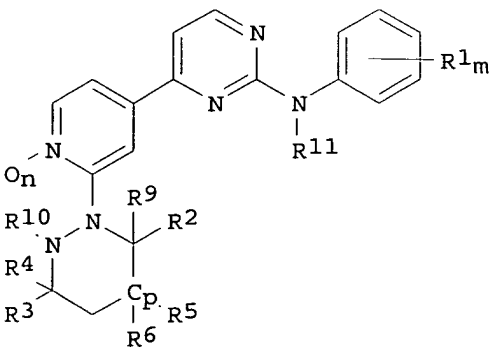
RN 814262-81-2 CAPLUS
CN 1H-Pyrazole-3-carboxamide, 5-(4-methoxyphenyl)-N-propyl-1-[3-(trifluoromethyl)-2-pyridinyl]- (CA INDEX NAME)



L4 ANSWER 6 OF 10 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2004:796496 CAPLUS
DOCUMENT NUMBER: 141:290547
TITLE: Fungicidal compositions comprising N-phenyl-N-[4-(4-pyridyl)-2-pyrimidin-2-yl]amine derivatives
INVENTOR(S): Ackerman, Peter; Stierli, Daniel; Jung, Pierre Marcel Joseph; Maienfisch, Peter; Cederbaum, Fredrik Emil Malcolm; Wenger, Jean-Frederic
PATENT ASSIGNEE(S): Syngenta Participations AG, Switz.
SOURCE: Brit. UK Pat. Appl., 112 pp.
CODEN: BAXXDU
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
GB 2399754	A	20040929	GB 2004-3967		20040223
PRIORITY APPLN. INFO.:			GB 2003-7269	A	20030328

OTHER SOURCE(S): MARPAT 141:290547
GI



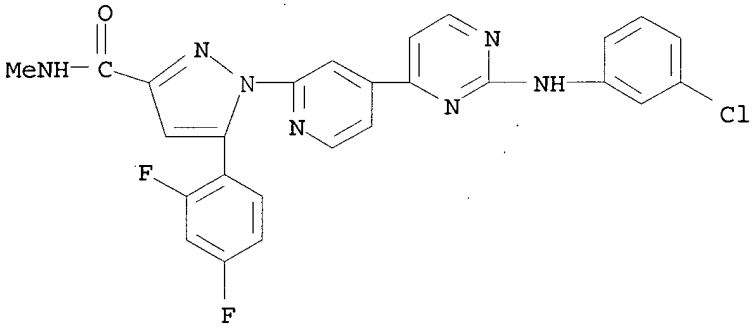
I

AB Compns. for protecting plants, especially fungicidal compns., comprise N-phenyl-N-[4-(4-pyridyl)-2-pyrimidin-2-yl]amine derivs. (I, R1 = halo or (un)substituted alkyl, alkoxy, alkenyloxy, alkynyloxy, thioalkyl, aryl, etc.; R2-R9 = H, (un)substituted alkyl, aryl, etc.; R10 = H, (un)substituted alkyl, alkenyl, etc.; R11 = H, C1-4 alkyl, C3-4 alkenyl, etc.; m = 0, 1, 2, or 3; n, p = 0 or 1; q = 1 or 2) or a salt thereof, together with a suitable carrier and optionally addnl. active compds. Thus, spraying 1-wk-old wheat plants 0.02% I (in a test with 7 such compds.) resulted in >70% control of fungal infection assessed 10 days after inoculation with Puccinia graminis.

IT 764698-93-3
RL: AGR (Agricultural use); BSU (Biological study, unclassified); BIOL (Biological study); USES (Uses)
(as fungicide for plant protection)

RN 764698-93-3 CAPLUS

CN 1H-Pyrazole-3-carboxamide, 1-[4-[2-[(3-chlorophenyl)amino]-4-pyrimidinyl]-2-pyridinyl]-5-(2,4-difluorophenyl)-N-methyl- (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 7 OF 10 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2004:493684 CAPLUS
DOCUMENT NUMBER: 141:54327
TITLE: Preparation of pyrazole derivatives useful as COX-1 inhibitors
INVENTOR(S): Shirai, Fumiyuki; Azami, Hidenori; Kayakiri, Natsuko; Okumura, Kazuo; Nakamura, Katsuya
PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 436 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004050632	A1	20040617	WO 2003-JP14489	20031114

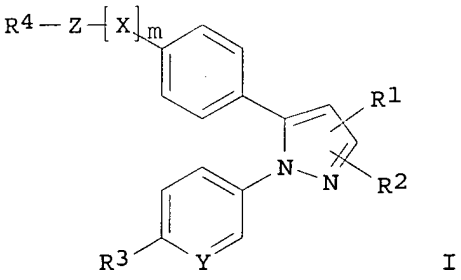
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE,

	ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG						
CA 2505945		A1	20040617	CA 2003-2505945			20031114
AU 2003302635		A1	20040623	AU 2003-302635			20031114
EP 1567503		A1	20050831	EP 2003-812289			20031114
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK						
BR 2003016332		A	20050927	BR 2003-16332			20031114
CN 1717393		A	20060104	CN 2003-80104548			20031114
JP 2006514095		T	20060427	JP 2004-570721			20031114
MX 2005PA05742		A	20050816	MX 2005-PA5742			20050530
IN 2005CN01453		A	20070622	IN 2005-CN1453			20050629
NO 2005003215		A	20050901	NO 2005-3215			20050630
PRIORITY APPLN. INFO.:				AU 2002-953019	A		20021202
				AU 2002-953602	A		20021230
				AU 2003-902015	A		20030429
				WO 2003-JP14489	W		20031114

OTHER SOURCE(S): MARPAT 141:54327

GI



I

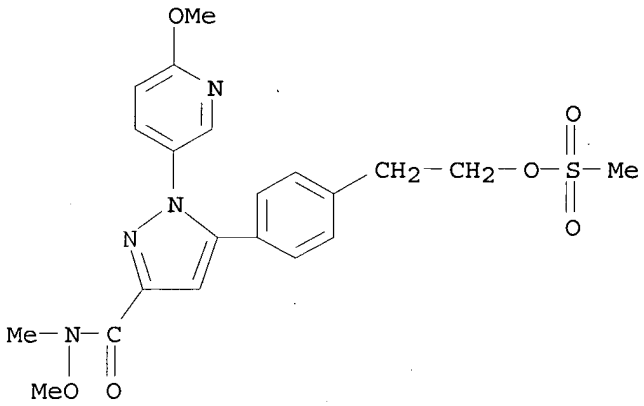
AB The compds. [I; R1 = H, alkyl; R2 = alkyl, haloalkyl, hydroxyalkyl, etc.; R3 = alkoxy, halo, CN, etc. ; R4 = H, CN, OH, etc.; X = O, S, SO, SO2; Y = CH, N; Z = alkylene, alkenylene; m = 0-1], were prepared E.g., a 3-step synthesis of 4-[3-isopropyl-1-(4-methoxyphenyl)-1H-pyrazol-5-yl]phenol, was given. The compds. I have an inhibiting activity against COX, particularly a selective inhibiting activity against COX-1 (data for representative compds. I is given). The pharmaceutical composition comprising the compound I is claimed.

IT 705934-64-1P 705934-77-6P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of pyrazole derivs. useful as COX-1 inhibitors)

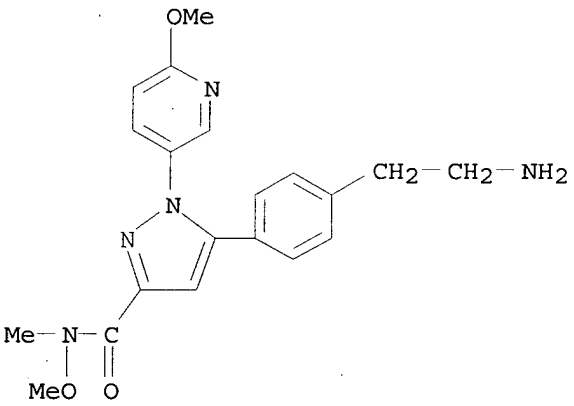
RN 705934-64-1 CAPLUS

CN 1H-Pyrazole-3-carboxamide, N-ethyl-1-(6-methoxy-3-pyridinyl)-N-methyl-5-[4-[2-[(methylsulfonyl)oxy]ethyl]phenyl]- (CA INDEX NAME)

CN 1H-Pyrazole-3-carboxamide, N-methoxy-1-(6-methoxy-3-pyridinyl)-N-methyl-5-[4-[2-[(methylsulfonyl)oxy]ethyl]phenyl]- (CA INDEX NAME)



RN 705939-37-3 CAPLUS
CN 1H-Pyrazole-3-carboxamide, 5-[4-(2-aminoethyl)phenyl]-N-methoxy-1-(6-methoxy-3-pyridinyl)-N-methyl-, hydrochloride (9CI) (CA INDEX NAME)



● x HCl

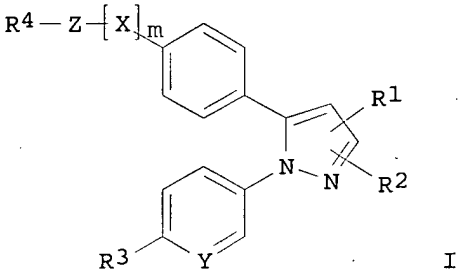
REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 8 OF 10 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2004:493568 CAPLUS
DOCUMENT NUMBER: 141:54325
TITLE: Preparation of pyrazole derivatives useful as COX-1 inhibitors
INVENTOR(S): Shirai, Fumiyuki; Azami, Hidenori; Kayakiri, Natsuko; Okumura, Kazuo; Nakamura, Katsuya
PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan
SOURCE: U.S. Pat. Appl. Publ., 142 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004116475	A1	20040617	US 2003-706999	20031114
US 7183306	B2	20070227		
CN 1717393	A	20060104	CN 2003-80104548	20031114
US 2007112037	A1	20070517	US 2006-610230	20061213
PRIORITY APPLN. INFO.:			AU 2002-953019 A	20021202
			AU 2002-953602 A	20021230
			AU 2003-902015 A	20030429
			US 2003-706999 A3	20031114

OTHER SOURCE(S): MARPAT 141:54325

GI



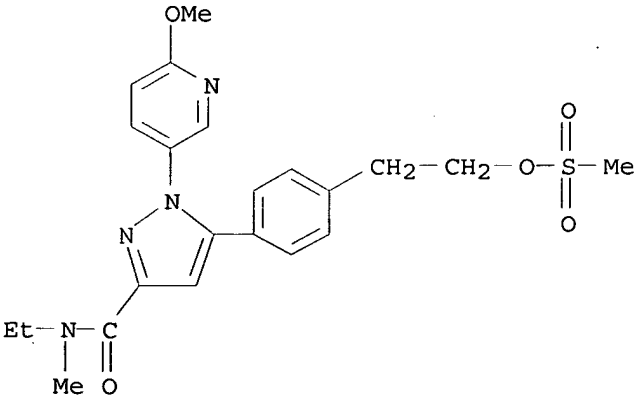
I

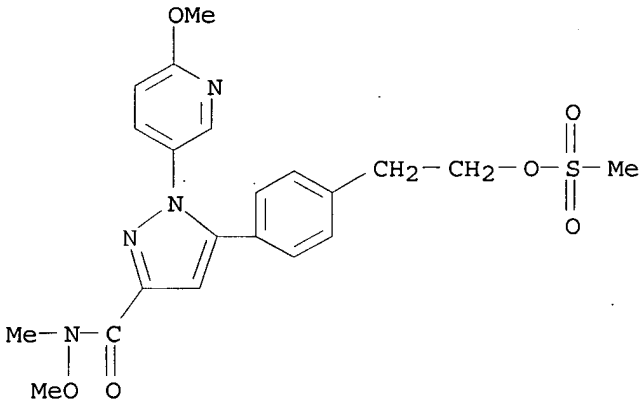
AB The compds. [I; R1 = H, alkyl; R2 = alkyl, haloalkyl, hydroxyalkyl, etc.; R3 = alkoxy, halo, CN, etc. ; R4 = H, CN, OH, etc.; X = O, S, SO, SO2; Y = CH, N; Z = alkylene, alkenylene; m = 0-1], were prepared E.g., a 3-step synthesis of 4-[3-isopropyl-1-(4-methoxyphenyl)-1H-pyrazol-5-yl]phenol, was given. The compds. I have an inhibiting activity against COX, particularly a selective inhibiting activity against COX-1 (data for representative compds. I is given). The pharmaceutical composition comprising the compound I is claimed.

IT 705934-64-1P 705934-77-6P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of pyrazole derivs. useful as COX-1 inhibitors)

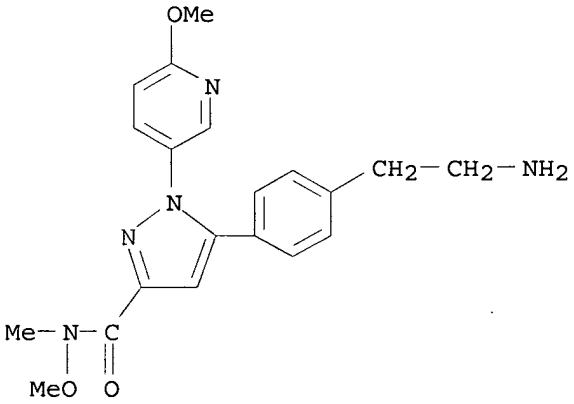
RN 705934-64-1 CAPLUS

CN 1H-Pyrazole-3-carboxamide, N-ethyl-1-(6-methoxy-3-pyridinyl)-N-methyl-5-[4-[2-[(methylsulfonyl)oxy]ethyl]phenyl]- (CA INDEX NAME)





RN 705939-37-3 CAPLUS
CN 1H-Pyrazole-3-carboxamide, 5-[4-(2-aminoethyl)phenyl]-N-methoxy-1-(6-methoxy-3-pyridinyl)-N-methyl-, hydrochloride (9CI) (CA INDEX NAME)



●x HCl

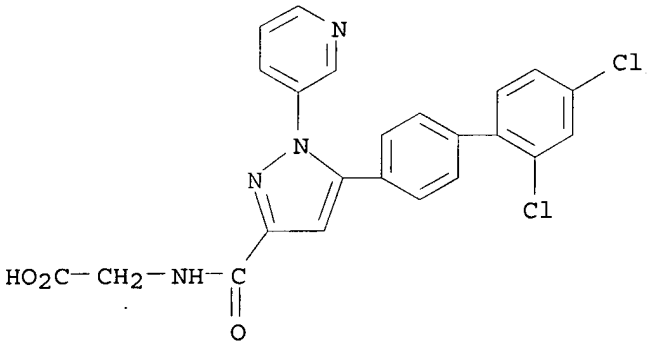
REFERENCE COUNT: 35 THERE ARE 35 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 9 OF 10 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2003:442769 CAPLUS
DOCUMENT NUMBER: 139:190635
TITLE: Discovery of a potent and selective series of pyrazole bacterial methionyl-tRNA synthetase inhibitors
AUTHOR(S): Finn, John; Mattia, Karen; Morytko, Mike; Ram, Siya; Yẩng, Yingfei; Wu, Ximao; Mak, Elsa; Gallant, Paul; Keith, Dennis
CORPORATE SOURCE: Cubist Pharmaceutical Inc., Lexington, MA, 02421, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (2003), 13(13), 2231-2234
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 139:190635
AB Starting with a micromolar lead identified from high-throughput screening,

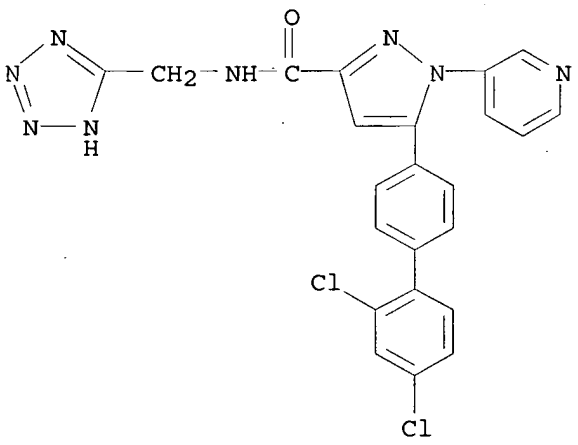
a series of pyrazoles were discovered with significantly improved potency on bacterial methionyl-tRNA synthetase and selectivity over human methionyl-tRNA synthetase.

IT 583850-56-0P 583850-57-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(potent and selective pyrazole inhibitors of bacterial methionyl-tRNA synthetase in comparison with human enzyme)

RN 583850-56-0 CAPLUS
CN Glycine, N-[[5-(2',4'-dichloro[1,1'-biphenyl]-4-yl)-1-(3-pyridinyl)-1H-pyrazol-3-yl]carbonyl]- (CA INDEX NAME)



RN 583850-57-1 CAPLUS
CN 1H-Pyrazole-3-carboxamide, 5-(2',4'-dichloro[1,1'-biphenyl]-4-yl)-1-(3-pyridinyl)-N-(1H-tetrazol-5-ylmethyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 10 OF 10 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1992:612965 CAPLUS
DOCUMENT NUMBER: 117:212965
TITLE: Preparation of N-(pyrazolylcarbonyl)amino acids and analogs as antipsychotics
INVENTOR(S): Boigegrain, Danielle; Gully, Robert; Jeanjean, Francis; Molimard, Jean Charles
PATENT ASSIGNEE(S): Sanofi SA, Fr.
SOURCE: Fr. Demande, 53 pp.

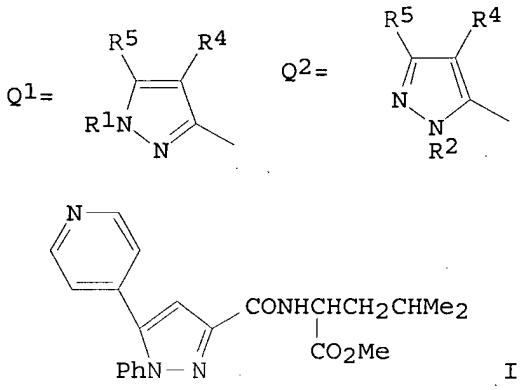
CODEN: FRXXBL
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2665898	A1	19920221	FR 1990-10486	19900820
FR 2665898	B1	19940311		
HU 59106	A2	19920428	HU 1991-2750	19910817
HU 217435	B	20000128		
FI 9103917	A	19920221	FI 1991-3917	19910819
FI 104170	B	19991130		
FI 104170	B1	19991130		
NO 9103234	A	19920221	NO 1991-3234	19910819
NO 300212	B1	19970428		
BR 9103550	A	19920407	BR 1991-3550	19910819
IL 99225	A	19951031	IL 1991-99225	19910819
PL 169085	B1	19960531	PL 1991-291463	19910819
RU 2066317	C1	19960910	RU 1991-5001452	19910819
CA 2049514	A1	19920221	CA 1991-2049514	19910820
CA 2049514	C	19970225		
AU 9182596	A	19920227	AU 1991-82596	19910820
AU 646683	B2	19940303		
EP 477049	A1	19920325	EP 1991-402269	19910820
EP 477049	B1	19991201		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
ZA 9106583	A	19920527	ZA 1991-6583	19910820
JP 04244065	A	19920901	JP 1991-208108	19910820
CZ 281864	B6	19970312	CZ 1991-2574	19910820
CA 2166903	C	19980901	CA 1991-2166903	19910820
CA 2166902	C	19990119	CA 1991-2166902	19910820
CA 2166901	C	19990126	CA 1991-2166901	19910820
KR 223074	B1	19991015	KR 1991-14358	19910820
AT 187167	T	19991215	AT 1991-402269	19910820
ES 2142798	T3	20000501	ES 1991-402269	19910820
LV 10434	B	19951020	LV 1993-138	19930225
LT 3520	B	19951127	LT 1993-656	19930615
US 5420141	A	19950530	US 1993-119830	19930913
US 5635526	A	19970603	US 1995-393829	19950224
US 5607958	A	19970304	US 1995-394757	19950227
US 5616592	A	19970401	US 1995-394756	19950227
US 5744493	A	19980428	US 1996-775150	19961231
US 5744491	A	19980428	US 1997-778105	19970102
HK 1005136	A1	20000922	HK 1998-104340	19980519
GR 3032732	T3	20000630	GR 2000-400431	20000223

PRIORITY APPLN. INFO.:

FR 1990-10486	A	19900820
CA 1991-2049514	A3	19910820
US 1991-747359	B1	19910820
US 1993-119830	A3	19930913
US 1995-393829	A3	19950224
US 1995-394756	A3	19950227

OTHER SOURCE(S): MARPAT 117:212965
GI



I

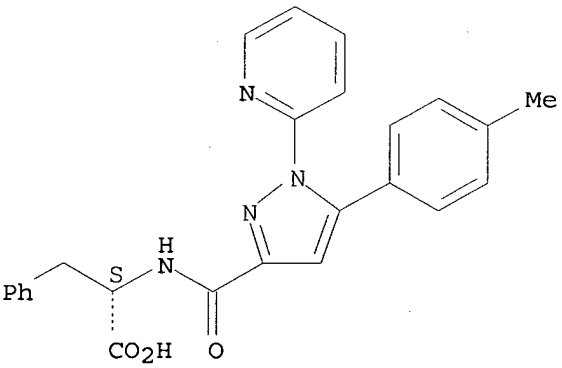
AB R3CONR(CH2)nCXX1COZ [R = H, alkyl; R3 = pyrazolyl group Q1 or Q2; R1 = (substituted) Ph, carboxyalkyl, alkoxycarbonylalkyl, pyridyl, etc.; R2 = (substituted) PhCH2; R4 = H, halo, alkyl; R5 = alkyl, (substituted) Ph, naphthyl, pyridyl, etc.; R4R5 = atoms to complete a benznellated ring; X = H, alkyl; X1 = H, (substituted) alkyl, (hetero)aralkyl, etc.; when n = 0, RX1 = (hydroxy substituted) (CH2)4-6; CXX1 = cycloalkylidene; Z = OH, NH2, alkoxy, etc.; n = 0-3] were prepared as neurotensin receptor ligands (no data). Thus, R3CO2H (R3 = Q1; R1 = Ph, R4 = H, R5 = 4-pyridyl) was condensed with L-leucine Me ester in the presence of Et3N and R6OP(NMe2)3PF6 (R6 = benzotriazol-1-yl) to give title compound I.

IT 144251-99-0P 144252-00-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as antipsychotic)

RN 144251-99-0 CAPLUS

CN L-Phenylalanine, N-[[5-(4-methylphenyl)-1-(2-pyridinyl)-1H-pyrazol-3-yl]carbonyl]- (CA INDEX NAME)

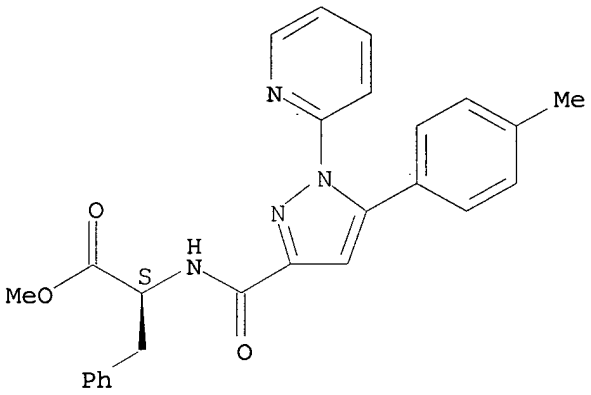
Absolute stereochemistry.



RN 144252-00-6 CAPLUS

CN L-Phenylalanine, N-[[5-(4-methylphenyl)-1-(2-pyridinyl)-1H-pyrazol-3-yl]carbonyl]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.



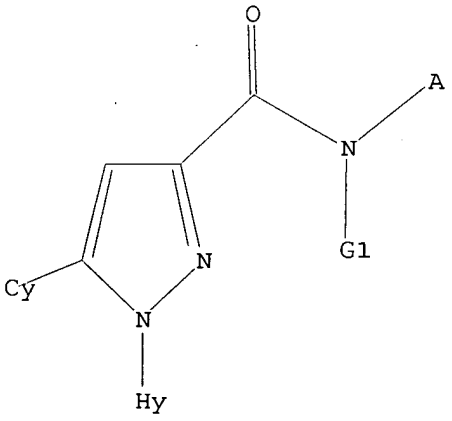
=> d his

(FILE 'HOME' ENTERED AT 08:24:19 ON 31 JAN 2008)

FILE 'REGISTRY' ENTERED AT 08:24:37 ON 31 JAN 2008
L1 STRUCTURE UPLOADED
L2 4 S L1
L3 236 S L1 FULL

FILE 'CAPLUS' ENTERED AT 08:25:07 ON 31 JAN 2008
L4 10 S L3

=> d l1
L1 HAS NO ANSWERS
L1 STR



G1 H,Ak

Structure attributes must be viewed using STN Express query preparation.

=>

STN- Structure Seazed 11/31/08

10/584,632

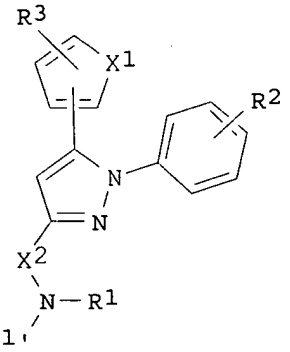
=> d ibib abs hitstr 1-11

L4 ANSWER 1 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2007:565055 CAPLUS
DOCUMENT NUMBER: 147:9900
TITLE: Substituted 5-heteroaryl-1-phenyl-pyrazole cannabinoid modulators and their preparation, pharmaceutical compositions and use in the treatment of diseases
INVENTOR(S): Xia, Mingde; Liotta, Fina; Pan, Meng; Wachter, Michael P.; Lu, Huajun
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 39pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

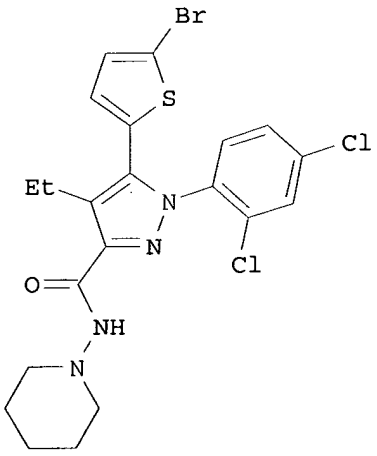
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2007117858	A1	20070524	US 2006-560431	20061116
WO 2007061948	A2	20070531	WO 2006-US44890	20061117
WO 2007061948	A3	20070712		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA

PRIORITY APPLN. INFO.: US 2005-739129P P 20051123
OTHER SOURCE(S): MARPAT 147:9900
GI

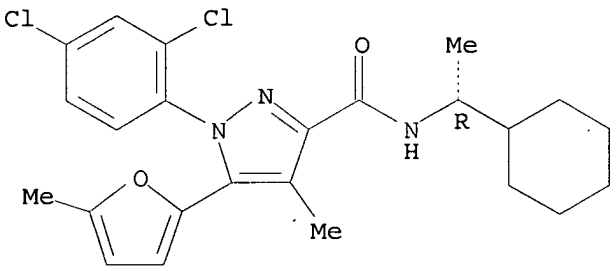


I



II

AB This invention is directed to a substituted 5-heteroaryl-1-phenyl-pyrazole cannabinoid modulator compound of formula I: or a form thereof, and methods for use in treating, ameliorating or preventing a cannabinoid receptor mediated syndrome, disorder or disease. Compds. of formula I wherein X1



L4 ANSWER 2 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2007:14431 CAPLUS
DOCUMENT NUMBER: 146:121962
TITLE: Pyrazole based LXR modulators and their preparation, pharmaceutical compositions and use in the treatment of diseases
INVENTOR(S): Busch, Breet B.; Flatt, Brenton T.; Gu, Xiao Hui; Martin, Richard; Mohan, Raju; Nyman, Michael Charles; Schweiger, Edwin; Stevens, William C., Jr.; Wang, Tie Lin; Xie, Yinong
PATENT ASSIGNEE(S): Exelixis, Inc., USA
SOURCE: PCT Int. Appl., 533pp., which
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007002559	A1	20070104	WO 2006-US24749	20060626

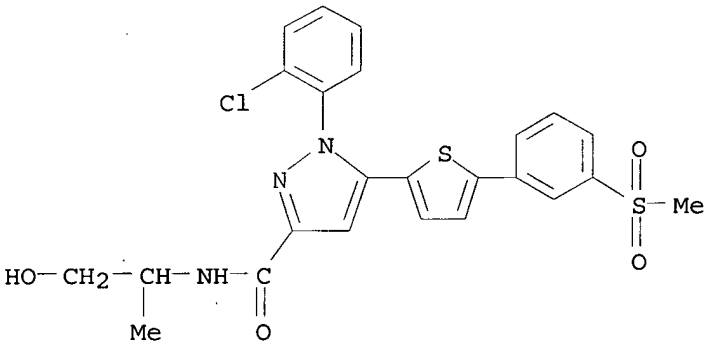
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: US 2005-694372P P 20050627
US 2005-736120P P 20051110
OTHER SOURCE(S): MARPAT 146:121962
GI

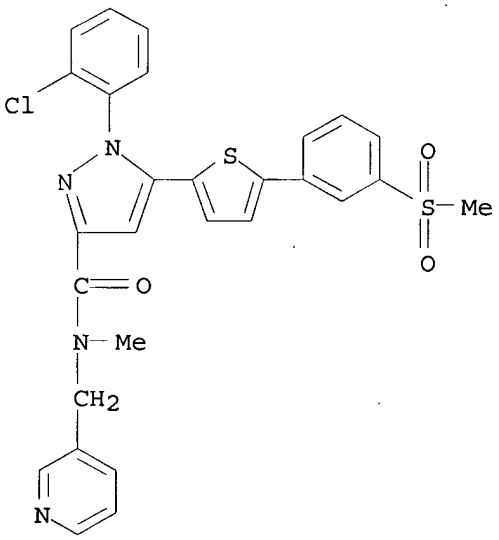
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Compds. of the invention, such as compds. of formulas I, II, III, and IV and pharmaceutically acceptable salts, isomers, and prodrugs thereof, which are useful as modulators of the activity of liver X receptors. Pharmaceutical compns. containing the compds. and methods of using the compds. are also disclosed. Compds. of formulas I - IV wherein R1 is (un)substituted (hetero)aryl, (un)substituted alkyl, (un)substituted alkenyl, (un)substituted (thio)ethers, etc.; R2 and R21 are independently

RN 918327-63-6 CAPLUS
CN 1H-Pyrazole-3-carboxamide, 1-(2-chlorophenyl)-N-(2-hydroxy-1-methylethyl)-5-[5-[3-(methylsulfonyl)phenyl]-2-thienyl]- (CA INDEX NAME)

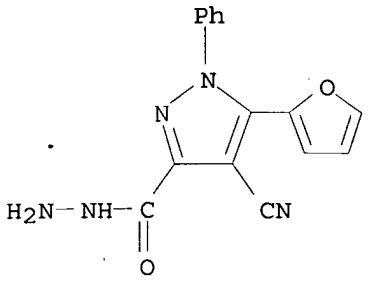


RN 918327-64-7 CAPLUS
CN 1H-Pyrazole-3-carboxamide, 1-(2-chlorophenyl)-N-methyl-5-[5-[3-(methylsulfonyl)phenyl]-2-thienyl]-N-(3-pyridinylmethyl)- (CA INDEX NAME)



REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2006:1183159 CAPLUS
DOCUMENT NUMBER: 146:401872
TITLE: A convenient access to functionalized pyrazole, pyrazolyl-azole, and pyrazolo[3,4-d]pyridazine derivatives
AUTHOR(S): Dawood, Kamal M.; Farag, Ahmad M.; Abdel-Aziz, Hatem A.
CORPORATE SOURCE: Department of Chemistry, Faculty of Science, Cairo University, Giza, 12613, Egypt
SOURCE: Journal of the Chinese Chemical Society (Taipei, Taiwan) (2006), 53(4), 873-880
CODEN: JCCTAC; ISSN: 0009-4536
PUBLISHER: Chinese Chemical Society
DOCUMENT TYPE: Journal



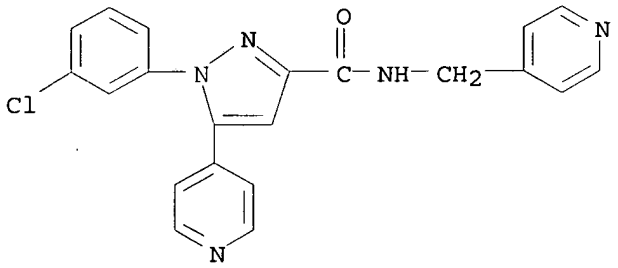
REFERENCE COUNT: 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2006:147271 CAPLUS
DOCUMENT NUMBER: 144:233068
TITLE: Preparation of substituted pyrazoles as adenosine receptor inhibitors
INVENTOR(S): Bloomfield, Graham Charles; Leblanc, Catherine; McCarthy, Clive; Press, Neil John
PATENT ASSIGNEE(S): Novartis AG, Switz.; Novartis Pharma GmbH
SOURCE: PCT Int. Appl., 30 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

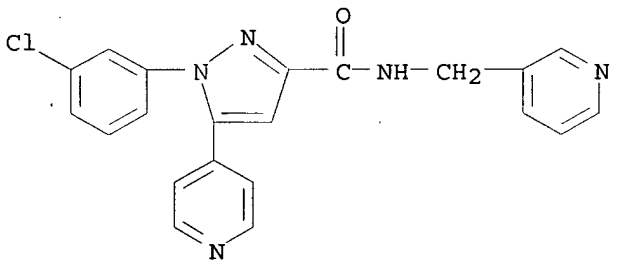
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006015860	A2	20060216	WO 2005-EP8696	20050810
WO 2006015860	A3	20060615		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
AU 2005270314	A1	20060216	AU 2005-270314	20050810
CA 2572752	A1	20060216	CA 2005-2572752	20050810
EP 1799206	A2	20070627	EP 2005-777527	20050810
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR				
CN 101001626	A	20070718	CN 2005-80026991	20050810
IN 2006DN08028	A	20070427	IN 2006-DN8028	20061229
KR 2007032812	A	20070322	KR 2007-703249	20070209
US 2007225335	A1	20070927	US 2007-573273	20070329
PRIORITY APPLN. INFO.:			GB 2004-17910 A	20040811
			WO 2005-EP8696 W	20050810

OTHER SOURCE(S): MARPAT 144:233068
GI

RN 876376-71-5 CAPLUS
CN 1H-Pyrazole-3-carboxamide, 1-(3-chlorophenyl)-5-(4-pyridinyl)-N-(4-pyridinylmethyl)- (CA INDEX NAME)



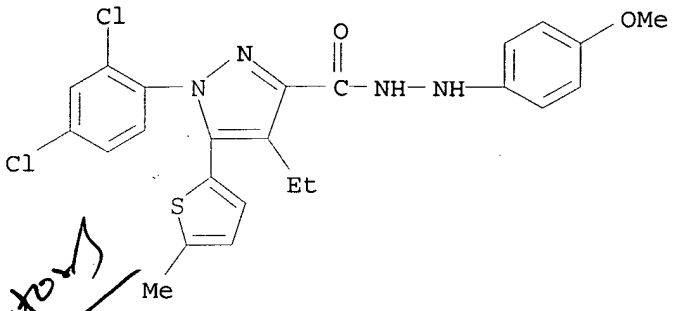
RN 876376-73-7 CAPLUS
CN 1H-Pyrazole-3-carboxamide, 1-(3-chlorophenyl)-5-(4-pyridinyl)-N-(3-pyridinylmethyl)- (CA INDEX NAME)



L4 ANSWER 5 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:1242633 CAPLUS
DOCUMENT NUMBER: 144:6785
TITLE: Preparation of pyrazole derivatives having affinity for the cannabinoidergic CB1 and/or CB2 receptors
INVENTOR(S): Lazzari, Paolo; Ruiu, Stefania; Pinna, Gerard Aime; Murineddu, Gabriele
PATENT ASSIGNEE(S): Italy
SOURCE: U.S. Pat. Appl. Publ., 24 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005261281	A1	20051124	US 2005-134627	20050523
CA 2507712	A1	20051124	CA 2005-2507712	20050517
EP 1602656	A1	20051207	EP 2005-10831	20050519
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, BA, HR, IS, YU				
JP 2005350458	A	20051222	JP 2005-150931	20050524

PRIORITY APPLN. INFO.: IT 2004-MI1032 A 20040524
OTHER SOURCE(S): CASREACT 144:6785; MARPAT 144:6785
GI



Inventors

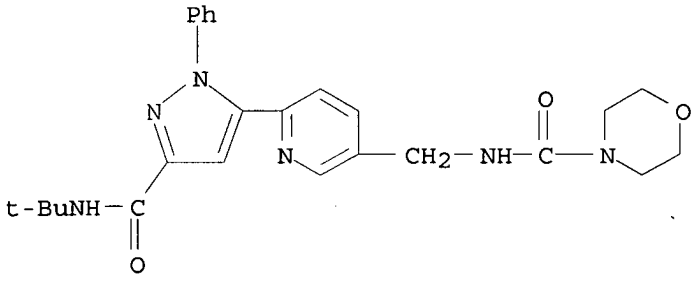
L4 ANSWER 6 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:612279 CAPLUS
DOCUMENT NUMBER: 143:133365
TITLE: Preparation of pyrazole carboxamide derivatives as platelet aggregation inhibitors for treatment of ischemia
INVENTOR(S): Kanaya, Naoaki; Ishiyama, Takashi; Muto, Ryo; Ochiai, Yuichi; Watanabe, Toshiyuki; Kuru, Noriko
PATENT ASSIGNEE(S): Daiichi Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 329 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005063737	A1	20050714	WO 2004-JP19582	20041227
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004309254	A1	20050714	AU 2004-309254	20041227
CA 2551604	A1	20050714	CA 2004-2551604	20041227
EP 1698626	A1	20060906	EP 2004-807937	20041227
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, IS				
CN 1902191	A	20070124	CN 2004-80039042	20041227
MX 2006PA07424	A	20060913	MX 2006-PA7424	20060626
NO 2006003090	A	20060921	NO 2006-3090	20060704
US 2007219210	A1	20070920	US 2007-584632	20070227

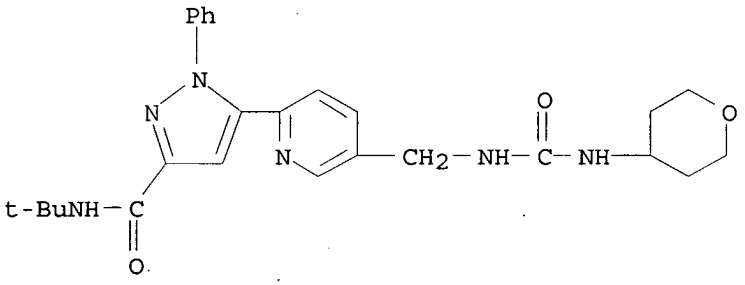
PRIORITY APPLN. INFO.:	JP 2003-434726	A	20031226
	JP 2004-12154	A	20040120
	JP 2004-321117	A	20041104
	WO 2004-JP19582	W	20041227

OTHER SOURCE(S): MARPAT 143:133365
GI

RN 858596-37-9 CAPLUS
CN 4-Morpholinecarboxamide, N-[[6-[3-[[(1,1-dimethylethyl)amino]carbonyl]-1-phenyl-1H-pyrazol-5-yl]-3-pyridinyl]methyl]- (CA INDEX NAME)



RN 858596-39-1 CAPLUS
CN 1H-Pyrazole-3-carboxamide, N-(1,1-dimethylethyl)-1-phenyl-5-[5-[[[[(tetrahydro-2H-pyran-4-yl)amino]carbonyl]amino]methyl]-2-pyridinyl]- (CA INDEX NAME)



REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 7 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2004:216816 CAPLUS
DOCUMENT NUMBER: 140:236100
TITLE: Synthesis of sarcolysin oligopeptide derivatives for use in the treatment of cancer
INVENTOR(S): Boopathy, Dhanapal
PATENT ASSIGNEE(S): Lipal Biochemicals A.-G. c/o University of Zurich, Switz.
SOURCE: Ger. Offen., 24 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

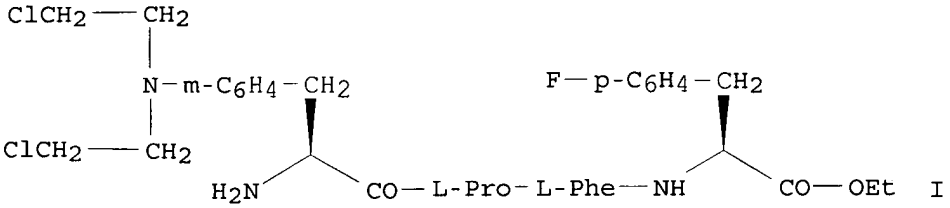
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 10239832	A1	20040318	DE 2002-10239832	20020829
WO 2004024755	A2	20040325	WO 2003-EP9630	20030829
WO 2004024755	A3	20041118		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM,

PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN,
TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,
BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

AU 2003264134 A1 20040430 AU 2003-264134 20030829
PRIORITY APPLN. INFO.: DE 2002-10239832 A 20020829
WO 2003-EP9630 W 20030829

OTHER SOURCE(S): MARPAT 140:236100
GI



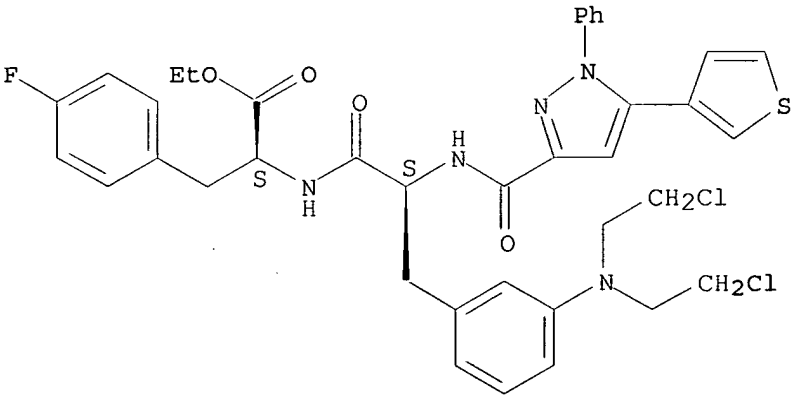
I

AB Methods for the synthesis of title compds. [e.g., (I)], are claimed. Thus, tripeptide H-Pro-Phe-Phe(4-F)OEt [Phe(4-F) = L-4-fluorophenylalanine] was reacted with Boc-m-L-sarcolysin to give, after deprotection and work-up, I (34% yield, >90% purity). In in vivo toxicol. tests using DBA/2 mice, I had no toxicity deaths after 21 days at dosages of 8.0, 10.67, or 16.0 mg/kg (Melphalan reference, 1 dead at day 9 at 16.0 dosage). No data was presented for anti-tumor effectiveness of title compds.

IT 666829-49-8P 666829-50-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of sarcolysin oligopeptide derivs. for use in the treatment of cancer)

RN 666829-49-8 CAPLUS
CN L-Phenylalanine, 3-[bis(2-chloroethyl)amino]-N-[[1-phenyl-5-(3-thienyl)-1H-pyrazol-3-yl]carbonyl]-L-phenylalanyl-4-fluoro-, ethyl ester (9CI) (CA INDEX NAME)

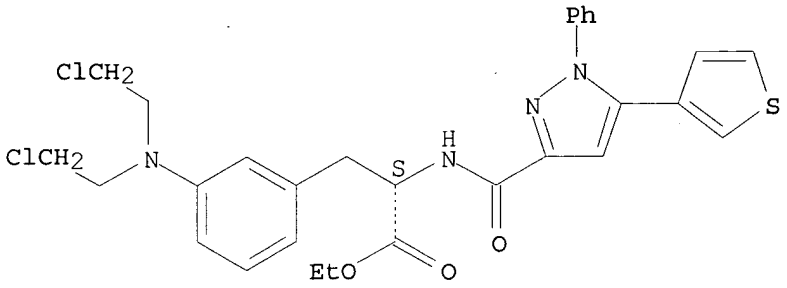
Absolute stereochemistry.



RN 666829-50-1 CAPLUS

CN L-Phenylalanine, 3-[bis(2-chloroethyl)amino]-N-[[1-phenyl-5-(3-thienyl)-1H-pyrazol-3-yl]carbonyl]-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.

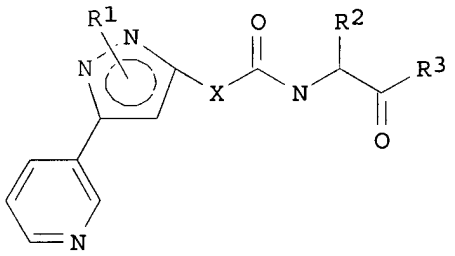


L4 ANSWER 8 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2003:903255 CAPLUS
DOCUMENT NUMBER: 139:396168
TITLE: Preparation of 3-pyridylpyrazole peptide derivatives as prenylation inhibitors
INVENTOR(S): Brown, Bradley B.; Rehder, Kenneth S.
PATENT ASSIGNEE(S): PPD Discovery, Inc., USA
SOURCE: U.S., 17 pp., Cont.-in-part of U.S. Ser. No. 219,628, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 6
PATENT INFORMATION:

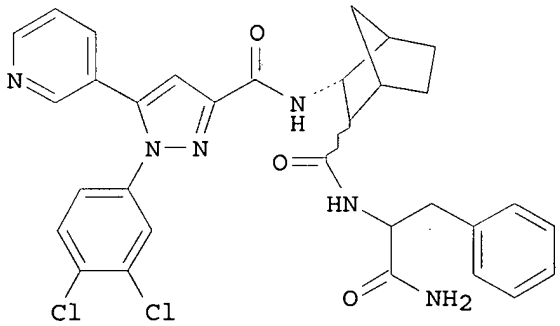
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6649638	B1	20031118	US 2003-336285	20030103
WO 2004016592	A1	20040226	WO 2003-US24985	20030806
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003265395	A1	20040303	AU 2003-265395	20030806
US 2004116425	A1	20040617	US 2003-636327	20030806
US 7166619	B2	20070123		
EP 1534680	A1	20050601	EP 2003-788371	20030806
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
US 2004053970	A1	20040318	US 2003-646256	20030822
US 6960603	B2	20051101		
US 2006025454	A1	20060202	US 2005-237134	20050927
US 7112596	B2	20060926		
US 2007010561	A1	20070111	US 2006-457788	20060714
US 2007149549	A1	20070628	US 2007-618932	20070101
PRIORITY APPLN. INFO.:			US 2002-219628	B2 20020814
			US 2003-336285	A 20030103
			US 2003-454554P	P 20030314
			US 2003-636327	A3 20030806

WO 2003-US24985 W 20030806
US 2003-646256 A3 20030822
US 2005-237134 A3 20050927

GI



I



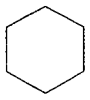
II

AB The invention is directed to pyridylpyrazole compds. I [X is nitrogen, Ph, pyrazole, methylpyrazole, dimethylpyrazole, pyridine, thiophene, dimethylcyclobutyl, dimethylcyclopropyl or cyclopropyl; R1 is halophenyl; R2 is benzyl, iso-Pr, chlorobenzyl, methylthienyl, (trifluoromethyl)benzyl, ethylthiomethyl, or 1-benzyl-4-pyrazolylmethyl; R3 is NH2 or OH] for use in the treatment of diseases associated with prenylation of proteins. Thus, phenylalaninamide derivative II was prepared via peptide coupling reactions and shown to inhibit GGPTase I.

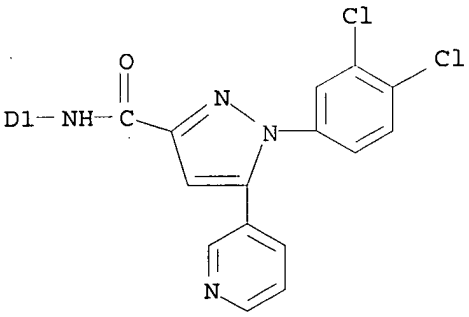
IT 627088-86-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyridylpyrazole peptide derivs. as prenylation inhibitors)

RN 627088-86-2 CAPLUS

CN Cyclohexanecarboxylic acid, [[[1-(3,4-dichlorophenyl)-5-(3-pyridinyl)-1H-pyrazol-3-yl]carbonyl]amino]- (9CI) (CA INDEX NAME)



D1—CO_2H



REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 9 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1992:612965 CAPLUS
DOCUMENT NUMBER: 117:212965
TITLE: Preparation of N-(pyrazolylcarbonyl)amino acids and analogs as antipsychotics
INVENTOR(S): Boigegrain, Danielle; Gully, Robert; Jeanjean, Francis; Molimard, Jean Charles
PATENT ASSIGNEE(S): Sanofi SA, Fr.
SOURCE: Fr. Demande, 53 pp.
CODEN: FRXXBL
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2665898	A1	19920221	FR 1990-10486	19900820
FR 2665898	B1	19940311		
HU 59106	A2	19920428	HU 1991-2750	19910817
HU 217435	B	20000128		
FI 9103917	A	19920221	FI 1991-3917	19910819
FI 104170	B	19991130		
FI 104170	B1	19991130		
NO 9103234	A	19920221	NO 1991-3234	19910819
NO 300212	B1	19970428		
BR 9103550	A	19920407	BR 1991-3550	19910819
IL 99225	A	19951031	IL 1991-99225	19910819
PL 169085	B1	19960531	PL 1991-291463	19910819
RU 2066317	C1	19960910	RU 1991-5001452	19910819
CA 2049514	A1	19920221	CA 1991-2049514	19910820
CA 2049514	C	19970225		
AU 9182596	A	19920227	AU 1991-82596	19910820
AU 646683	B2	19940303		
EP 477049	A1	19920325	EP 1991-402269	19910820
EP 477049	B1	19991201		

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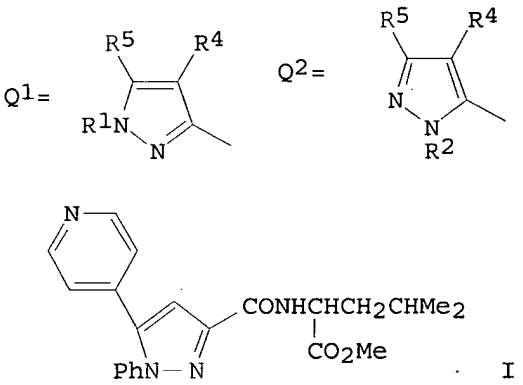
ZA 9106583	A	19920527	ZA 1991-6583		19910820	
JP 04244065	A	19920901	JP 1991-208108		19910820	
CZ 281864	B6	19970312	CZ 1991-2574		19910820	
CA 2166903	C	19980901	CA 1991-2166903		19910820	
CA 2166902	C	19990119	CA 1991-2166902		19910820	
CA 2166901	C	19990126	CA 1991-2166901		19910820	
KR 223074	B1	19991015	KR 1991-14358		19910820	
AT 187167	T	19991215	AT 1991-402269		19910820	
ES 2142798	T3	20000501	ES 1991-402269		19910820	
LV 10434	B	19951020	LV 1993-138		19930225	
LT 3520	B	19951127	LT 1993-656		19930615	
US 5420141	A	19950530	US 1993-119830		19930913	
US 5635526	A	19970603	US 1995-393829		19950224	
US 5607958	A	19970304	US 1995-394757		19950227	
US 5616592	A	19970401	US 1995-394756		19950227	
US 5744493	A	19980428	US 1996-775150		19961231	
US 5744491	A	19980428	US 1997-778105		19970102	
HK 1005136	A1	20000922	HK 1998-104340		19980519	
GR 3032732	T3	20000630	GR 2000-400431		20000223	
PRIORITY APPLN. INFO.:			FR 1990-10486	A	19900820	
			CA 1991-2049514	A3	19910820	
			US 1991-747359	B1	19910820	
			US 1993-119830	A3	19930913	
			US 1995-393829	A3	19950224	
			US 1995-394756	A3	19950227	

OTHER SOURCE(S): MARPAT 117:212965

GI



I

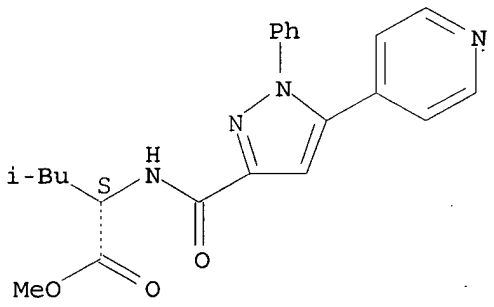
AB R3CONR(CH2)nCXX1COZ [R = H, alkyl; R3 = pyrazolyl group Q1 or Q2; R1 = (substituted) Ph, carboxyalkyl, alkoxycarbonylalkyl, pyridyl, etc.; R2 = (substituted) PhCH2; R4 = H, halo, alkyl; R5 = alkyl, (substituted) Ph, naphthyl, pyridyl, etc.; R4R5 = atoms to complete a benznellated ring; X = H, alkyl; X1 = H, (substituted) alkyl, (hetero)aralkyl, etc.; when n = 0, RX1 = (hydroxy substituted) (CH2)4-6; CXX1 = cycloalkylidene; Z = OH, NH2, alkoxy, etc.; n = 0-3] were prepared as neurotensin receptor ligands (no data). Thus, R3CO2H (R3 = Q1; R1 = Ph, R4 = H, R5 = 4-pyridyl) was condensed with L-leucine Me ester in the presence of Et3N and R6OP(NMe2)3PF6 (R6 = benzotriazol-1-yl) to give title compound I.

IT 144250-74-8P 144251-34-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as antipsychotic)

RN 144250-74-8 CAPLUS

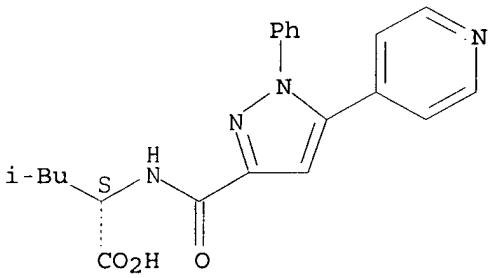
CN L-Leucine, N-[[1-phenyl-5-(4-pyridinyl)-1H-pyrazol-3-yl]carbonyl]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.

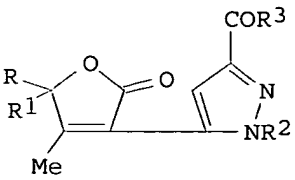


RN 144251-34-3 CAPLUS
CN L-Leucine, N-[[1-phenyl-5-(4-pyridinyl)-1H-pyrazol-3-yl]carbonyl]- (CA INDEX NAME)

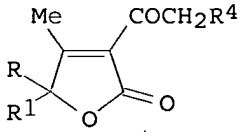
Absolute stereochemistry.



L4 ANSWER 10 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1979:103915 CAPLUS
DOCUMENT NUMBER: 90:103915
ORIGINAL REFERENCE NO.: 90:16415a,16418a
TITLE: Studies of unsaturated lactones. XXXV. Synthesis and properties of 5-butenolidylpyrazole-3-carboxylic acid esters
AUTHOR(S): Avetisyan, A. A.; Dzhandzhapanyan, A. N.; Dangyan, M. T.
CORPORATE SOURCE: Erevan. Gos. Univ., Yerevan, USSR
SOURCE: Khimiya Geterotsiklicheskikh Soedinenii (1978), (12), 1611-14
CODEN: KGSSAQ; ISSN: 0453-8234
DOCUMENT TYPE: Journal
LANGUAGE: Russian
OTHER SOURCE(S): CASREACT 90:103915
GI



I



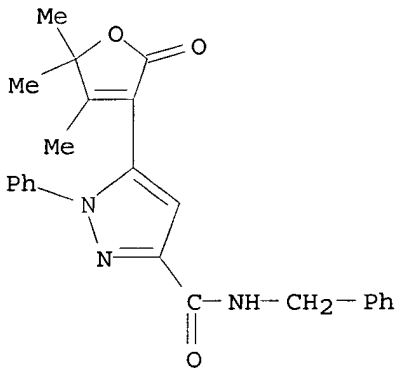
II

AB The title compds. I [R = Me, Et, R2 = Ph, H, or RR1 = (CH2)5; R3 = OEt] were prepared in 62-77% yields by condensation of II (R4 = H) with (CO2Et)2 to give 80-97% II (R4 = COCO2Et) which were cyclized by heating with R2NHNH2 in AcOH. Amides I [R = R1 = Me, R2 = H, Ph, R3 = NHR5 (R5 = H, Bu, PhCH2)] were prepared in 41-80% yield by treatment of the esters I with R5NH2.

IT 66078-63-5P 69398-43-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

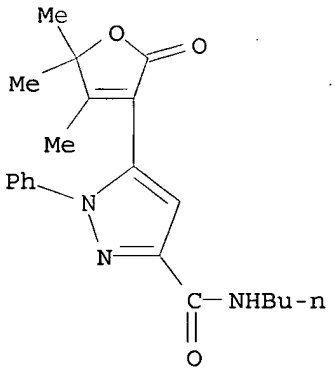
RN 66078-63-5 CAPLUS

CN 1H-Pyrazole-3-carboxamide, 5-(2,5-dihydro-4,5,5-trimethyl-2-oxo-3-furanyl)-1-phenyl-N-(phenylmethyl)- (CA INDEX NAME)



RN 69398-43-2 CAPLUS

CN 1H-Pyrazole-3-carboxamide, N-butyl-5-(2,5-dihydro-4,5,5-trimethyl-2-oxo-3-furanyl)-1-phenyl- (CA INDEX NAME)



L4 ANSWER 11 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1978:136514 CAPLUS

DOCUMENT NUMBER: 88:136514

ORIGINAL REFERENCE NO.: 88:21459a,21462a

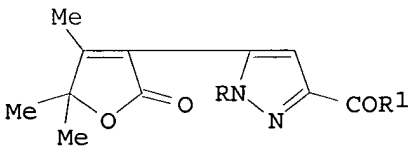
TITLE: Synthesis of some pyrazole derivatives containing an unsaturated γ-lactone ring

AUTHOR(S): Dzhandzhapanyan, A. N.; Avetisyan, A. A.; Dangyan, M. T.

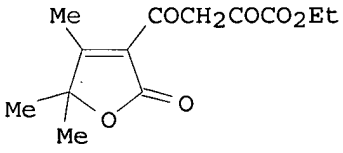
CORPORATE SOURCE: Erevan. Gos. Univ., Yerevan, USSR

SOURCE: Tezisy Dokl. - Molodezhnaya Konf. Org. Sint. Bioorg. Khim. (1976), 7-8. Akad. Nauk Arm. SSR, Inst. Tonkoi Org. Khim. im. A. L. Mndzhoyana: Yerevan, USSR.

CODEN: 37NNAQ
DOCUMENT TYPE: Conference
LANGUAGE: Russian
GI



I



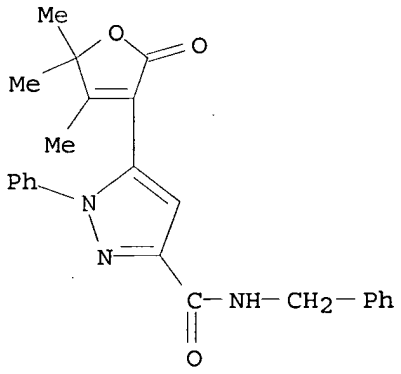
II

AB Pyrazolecarboxylates I (R = H, Ph, R1 = EtO) were prepared by cyclocondensation of RNHNH2 with II. Treatment of I with NH3 and PhCH2NH2 gave I [R = H, Ph, R1 NHR2 (R2 = H, PhCH2)].

IT 66078-63-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 66078-63-5 CAPLUS

CN 1H-Pyrazole-3-carboxamide, 5-(2,5-dihydro-4,5,5-trimethyl-2-oxo-3-furanyl)-1-phenyl-N-(phenylmethyl)- (CA INDEX NAME)



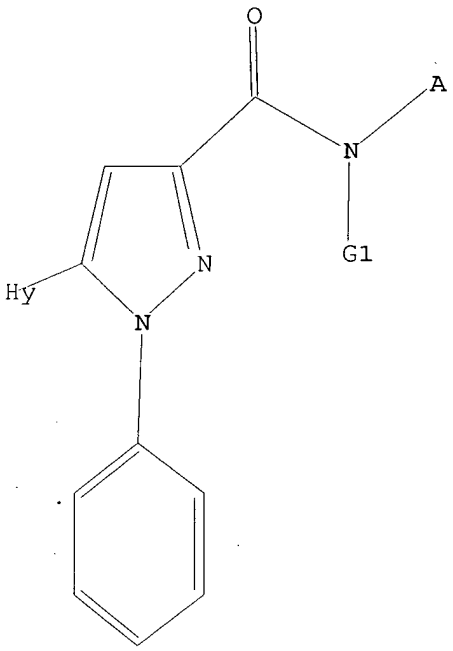
=> d his

(FILE 'HOME' ENTERED AT 08:29:45 ON 31 JAN 2008)

FILE 'REGISTRY' ENTERED AT 08:29:56 ON 31 JAN 2008
L1 STRUCTURE UPLOADED
L2 6 S L1
L3 96 S L1 FULL

FILE 'CAPLUS' ENTERED AT 08:30:24 ON 31 JAN 2008
L4 11 S L3

=> d l1
L1 HAS NO ANSWERS
L1 STR



G1 H,Ak

Structure attributes must be viewed using STN Express query preparation.

=>